

Please type a plus sign (+) inside this box → ☐Approved for use through 10/3/99, CMB 0691-0091
Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE
Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it carries a valid CMS control number.

Substitute for form 1448APTO		Complete If Known	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (use as many sheets as necessary)		Application Number	10/035,783
		Filing Date	12/24/2001
		First Named Inventor	GRAUPE
		Group Art Unit	1626
		Examiner Name	Shiao
Sheet	1	of	13
		Attorney Docket Number	USAV2001/0081 - US - NP

U.S. PATENT DOCUMENTS						
Examiner Initials*	Cite No.†	U.S. Patent Document Number	Kind Code‡ (§ 100)	Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant, Paragraph or Remark, Figure, Table, etc.
1/		4,927,809		STUBER, et al.	06-22-1990	
		5,424,323		ANDO, et al.	06-19-1995	
		5,496,623		ZIMMERMAN, et al.	01-29-1996	
		5,496,618		MALLANO, et al.	03-12-1996	
		5,847,135		BEMIS, et al.	12-08-1998	
		5,852,007		CHATTERJEE, et al.	12-22-1999	
		5,874,424		BATCHELOR, et al.	02-23-1999	
		5,998,380		RAMAMURTHY, et al.	12-07-1999	
		6,004,393		SPRUCE, et al.	12-21-1999	
		6,022,861		SCARBOROUGH, et al.	02-06-2000	
		6,114,310		CHAMBERLAND, et al.	09-05-2000	
		6,124,393		MILLER, et al.	12-28-2000	
		6,265,453		GYORKOS	07-03-2001	
		6,383,017		ALTMAN, et al.	03-05-2002	
		6,455,502		BRYANT, et al.	09-24-2002	
	6,476,026		BRYANT, et al.	11-05-2002		
	6,492,382		GRAUPE, et al.	12-10-2002		
	6,606,733		BUYSSE	01-14-2003		
	6,576,830		LINK, et al.	05-10-2003		

FOREIGN PATENT DOCUMENTS								
Examiner Initials*	Cite No.†	Foreign Patent Document‡			Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant, Paragraph or Remark, Figure, Table, etc.	TV
		Office‡	Number‡	Kind Code‡ (§ 100)				
P		EP	EP 0272671		KRANTZ, et al.	06-29-1988		
		EP	EP 0201234		EDWARDS, et al.	11-17-1996		
		EP	EP 0555572		PAQUES, et al.	02-28-1990		
		EP	EP 0376012		ALBRIGHT, et al.	07-04-1990		
		EP	EP 0418983		HARA, et al.	04-03-1991		
		EP	EP 0536989		OKUBO, et al.	04-01-1993		
		EP	EP 0652009		DOVEY, et al.	10-05-1995		
		EP	EP 0754454		KOBAYASHI, et al.	01-22-1997		
		JP	JP 06192199		RYOICHI, et al.	07-12-1994		
		JP	JP 43009133		IRIKURA, et al.	05-08-1987		

Examiner Signature	Date Considered
--------------------	-----------------

*EXAMINER: Initial reference considered, whether or not citation is in conformance with MPEP 809. Draw into through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

† Unique citation designation number. ‡ See attached kinds of U.S. Patent Documents. † Enter Office that issued the document by the two-letter code (WIPO Standard ST.3). ‡ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ‡ Kind of document by the appropriate symbol as indicated on the document under WIPO Standard ST. 18 if possible. ‡ Applicant is to place a check mark here if English language translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

JAN. 4. 2005 2:52PM AVENTIS US PAT DEPT

NO. 1077 P. 9

Please type a plus sign (+) inside this box →

PTO/SB/02A (10-00)

Approved for use through 10/31/99. OMB 0651-0001

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1469A/PTO

**INFORMATION DISCLOSURE
STATEMENT BY APPLICANT**

(use as many sheets as necessary)

Sheet	4	of	13
-------	---	----	----

Complete If Known

Application Number	10/035,783
Filing Date	12/24/2001
First Named Inventor	GRAUPE
Group Art Unit	1626
Examiner Name	Shalo
Attorney Docket Number	USAV2001/0081 - US - NP

U.S. PATENT DOCUMENTS

[illegible]

FOREIGN PATENT DOCUMENTS

Examination Index No.	Class No.	Foreign Patent Document		Domestic Patent Document		Page, Column, Lines, Where Relevant Paragraph or Relevant Figure Appear
		Office	Number	Kind Code (If Known)	Name of Patentee or Applicant of Claim Document	Date of Publication of Claim Document MM-DD-YYYY
		WO	89/04744		MARLOWE, et al.	12-18-1995
		WO	89/41838		DOLLE, et al.	12-27-1988
		WO	87/03579		MALLANO, et al.	02-06-1987
		WO	88/01133		AISE, et al.	01-15-1988
		WO	89/01428		DOMINGUEZ, et al.	01-15-1989
		WO	88/05336		MARQUIS, et al.	02-12-1988
		WO	89/03802		YAMASHITA, et al.	03-05-1989
		WO	88/06887		SHONOGI, et al.	03-05-1988
		WO	88/21186		PRESTON, et al.	06-22-1988
		WO	89/25589		FLOYD, C.	08-04-1989

Examiner
Signature

Date _____

Considered

*EXAMINER: initial reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

¹ Unique citation designation number. ² See attached Kinds of U.S. Patent Documents. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST-3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. **DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO:** Assistant Commissioner for Patents, Washington, DC 20231.

JAN. 4. 2005 2:53PM

AVENTIS US PAT DEPT

NO. 1077 P. 11

Please type a plus sign (+) inside this box → ☐

Approved for use through 12/31/99. CMB 001-0011
 Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE
 Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449B/PTO

Complete If Known

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(use as many sheets as necessary)

Sheet	6	of	13	Application Number	10/065,783
				Filing Date	12/24/2001
				First Named Inventor	GRAUPE
				Group Art Unit	1826
				Examiner Name	Shiao
				Attorney Docket Number	USAV2001/0081 - US - NP

OTHER PRIOR ART – NON PATENT LITERATURE DOCUMENTS

Examiner Initials*	Cite No.†	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issu number(s), publisher, city and/or country where published.	12
		ADAMS, et al., Potent and Selective Inhibitors of the Protonase: Dipeptidyl Serine Acids, Bioorganic & Medicinal Chemistry Letters, 8: 333-338 (1998).	
		ASHWORTH, et al., 4-Cyanothiazolidines as very potent, stable inhibitors of dipeptidyl peptidase IV, Bioorganic & Med. Chem. Letters, 5: Oxford, 6(22):2745-2746 (1998).	
		BERGEMAN, et al., Studies on the reactivity of alpha.-cyano.alpha.-acyloxy alkanones. Versatile synthons for the assembly of imidazoles, Mak.Chim. ACTA, 82(9):919-918 (1999).	
		BILLSON, et al., The Design and Synthesis of Inhibitors of the Cysteinyl, Bloorg. Med. Chem. Lett. vol. 8, pp. 993-998, 1998	
		BROMME, et al., Potent Inactivation of Cathepsins S and L, Biol. Chem. Hoppe-Seyler. vol. 378, No. 6, pp. 943-947, 1994.	
		CHATTERJEE, et al., D-Amino Acid Containing, High-Affinity Inhibitors of Recombinant Human Calpain I, Journal of Medicinal Chemistry, vol. 41, No. 15, p. 2863-2866 (1998).	
		COHEN, et al., Therapy of relapsing multiple sclerosis. Treatment approaches for nonresponders, Journal of Neuroimmunology, 88: 29-38 (1999).	
		DUFOUR, et al., Engineering nitrile hydratase activity into a cysteine protease by a single mutation, Biochemistry, US: Am. Chem. Soc., Easton, PA, 34(50):16362-16368 (1995).	
		EDWARDS, et al., Design, Synthesis, and Kinetic Evaluation of a Unique Class of Elastase Inhibitors, the Peptidyl alpha-Ketobenzoxazolones, and the X-ray Crystal Structure of the Covalent Complex between Porcine Pancreatic Elastase and Ac-Ala-Pro-Val-2-Benzoxazole, Journal of American Chemical Society, vol. 114, No. 6, p. 1854-1863 (1992).	
		EVOLI, et al., abstract only, Drugs, 1996, 52(5), 652-70	

Examiner Signature	Date Considered
<i>[Signature]</i>	1/4/05

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

† Unique citation designation number. ‡ Applicant is to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

Please type a plus sign (+) inside this box → ☐

Approved for use through 10/31/99. OMB 5010-104-001
 Patent and Trademark Office U.S. DEPARTMENT OF COMMERCE
 Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449B/PTO

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(use as many sheets as necessary)

Sheet

7

of

13

Complete If Known

Application Number 10/035,793
 Filing Date 12/24/2001
 First Named Inventor GRAUPE
 Group Art Unit 1628
 Examiner Name Shaio
 Attorney Docket Number USAV2001/0081 - US - NP

OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS

Examiner Initials	Cite No.	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ¹
		GOUR-BALIN, et al., Inhibition of papain by peptide nitriles: conversion of the nitrile group into other functionalities via the papain/nitrile thiomidate ester adduct, Can. J. of Chem, CA, National Research Council, Ottawa, 66(6):1268-1277 (1991).	
		HALLEGUA, et al., Cyclosporins for lupus membranous nephritis: experience with ten patients and review of the literature, Lupus, 9: 241-251 (2000).	
		HANZLIK, et al., Reversible covalent binding of peptide nitriles to papain, Biochim. Biophys. Acta, vol. 1035, No. 1, 1990, pp. 62-70.	
		HARRIS, et al., Characteristics of a continuous fluorogenic assay for calpain I. Kinetic evaluation of peptide aldehydes, haloalkyl ketones and (achiral) methyl ketones as inhibitors of the enzyme, Chemical Abstracts, 110:7, Bioorg. Med. Chem. Lett, 5(4) 393-398 (1995).	
		HEITMILLER, R.F., abstract only, Semin. Thromb. Cardiovasc. Surg., 1999, 11(1), 41-6	
		KATRITZKY, et al., Benzotriazole-assisted synthesis of alpha-(acylamino) nitriles and a conceptually novel method for peptide elongation, Chem. Soc., Perkin Trans. 1(7):1853-1857 (1995).	
		KHAMASHTA, et al., Expert. Opin. Invest. Drugs, 2000, 9(7), 1581-83.	
		KRANTZ, et al., Peptidyl (Acyloxy)methyl Ketones and the Quiescent, Biochemistry, vol. 30, pp. 4678-4687, 1991	
		LEVY, E.G., Baillieres Clin. Endocrinol. Metab., 1997, 11(3) 565-595	
		Li, et al., Aminoacylpyrrolidine-2-nitriles: Potent and stable inhibitors of dipeptidyl-peptidase IV (CD 26), Archives of Biochem. and Bioph., 323(1):146-154 (1995).	

Examiner
Signature

Date

Considered

*EXAMINER: Initial reference considered, whether or not citation is in conformance with MPEP 608. Draw the through citation that is in conformance and not considered. Include copy of this form with most communication to applicant.

¹ Unique citation designation number. ² Applicant is to place a check mark here if English language Translation is attached.

Search Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

Please type a plus sign (+) inside this box: ☐Approved for use through 10/31/02. CMB 0031-0021
Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE
Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it carries a valid OMB control number.

Substitute for form 1449B/PTO

**INFORMATION DISCLOSURE
STATEMENT BY APPLICANT**

(use as many sheets as necessary)

Sheet 8 of 13

Complete If Known

Application Number	10/035 783
Filing Date	12/24/2001
First Named Inventor	GRAUPE
Group Art Unit	1826
Examiner Name	Shalo
Attorney Docket Number	USA2001/0081 - US - NP

OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS

Examiner Initials	Cite No.	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and country where published.	T ¹
		LIPSHUTZ, et al., Chiral induction in originally racemic amino acids via 5-acyl and 5-oxo-oxaminoacetaldehydes, <i>Int. J. Chem.</i> 27(1):49-66 (1989), abstract.	
		LIPSHUTZ, et al., Heterocycles as masked diamide/dipeptide equivalents. Formation and reactions of substituted 5-(acylamino)oxazolones as intermediates en route to the cyclopeptide alkaloids, <i>J. Am. Chem. Soc.</i> , 105(28):7703-7713 (1983).	
		LIPSHUTZ, et al., Oxazolophanes as masked cyclopeptide alkaloid equivalents: cyclic peptide chemistry without peptide couplings, <i>J. Am. Chem. Soc.</i> , 112(18):7032-7041 (1990).	
		MARQUIS, et al., Potent dipeptidylketone inhibitors of the cysteine protease cathepsin, <i>Chemical Abstracts</i> , 7:4 581-588 (1989).	
		MCNATH, et al., Direct dialkylation of peptide nitriles. Application of the synthesis of 1-aminocyclopropane-1-carboxylic acid (Acy)-containing dipeptides, <i>Bull. Soc. Chim. Fr.</i> 134(1):105-110 (1987).	
		MORIYA, et al., Synthesis and Hypolipidemic Activities of 6-Thienyl-4-oxazolesuccinic Acid Derivatives, <i>sup. 1</i> , <i>J. Med. Chem.</i> , 29: 333-341 (1986).	
		MOSEF, et al., 190 Poly (dipeptidylammonium)-Salts: definition und methoden zur preparativen herstellung, poly (dipeptidylammonium) salts: definition and methods of preparation, <i>Helvetica Chimica Acta</i> , CH, Verlag, Basel 68:1224-1262 (1986).	
		NIPPON, K., Patent Abstracts of Japan, Publication No. 63301668, 013(137)(1988), abstract.	
		NORTH, et al., Synthetic studies towards cyclic peptides. Concise synthesis of thiazoline and thiazole containing amino acids, <i>Tetrahedron</i> , 48(24):8627-8290 (1990).	
		OGILVIE, et al., Peptidomimetic inhibitors of the human cytomegalovirus protease, <i>Journal of Medicinal Chemistry</i> vol. 40 No. 25 (1997).	

Examiner
SignatureDate
Considered

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 608. Draw line through citation if not in conformance and not considered. Include copy of this form with most communication to applicant.

¹ Unique citation designation number. ² Applicant is to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

Please type a plus sign (+) inside this box → ☐

PTO/589/048 (10-98)
 Approved for use through 10/31/98. OMB 5801-0001
 Patent and Trademark Office U.S. DEPARTMENT OF COMMERCE
 Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449B/PTO

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(Use as many sheets as necessary)

Sheet

9

of

13

Complete If Known

Application Number 10/035,763
 Filing Date 12/24/2001
 First Named Inventor GRAUPE
 Group Art Unit 1626
 Examiner Name Shiao
 Attorney Docket Number USAV2001/0061 - US - NP

OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS

Examiner Initials	Cite No.	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ¹
P		PICKEN, et al., Inhibition of bovine cathepsin B by amino acid-derived nitriles, Biochemical Society Transactions, vol. 16, No. 2, p.319 (1980).	
		PLUJA, et al., Comparative behavior of colipain and cathepsin B, Biochem. J. vol. 268, pp. 759-762, 1992	
		POLMAN, et al., Drug treatment of multiple sclerosis, BMJ, 321: 19-29 (2000).	
		RIESE, et al., Essential Role for Cathepsin B in MHC Class II-Associated Invariant Chain Processing and Peptide Loading, Immunity, 4: 357-368 (Apr. 1996).	
		SMITH, et al., New Inhibitors of Cysteine Proteinases, J. Am. Chem. Soc. vol. 110, No. 18, pp. 4429-4431, 1988	
		SUAVE, et al., Carboxymodified amino acids and peptides, I. An efficient method for the synthesis of monofunctionalized enantiomers and monofunctionalized methyl ketone derivatives from thioamides via epoxide and thioiminium salts, Tetrahedron Lett, 28:19 2295-2298 (1986).	
		SUZUE, S., Hepato agents. I. Synthesis of aminoacyl (and hydroxyacyl) aminoacetotrioles, Chem. and Pharm. Bull. (Tokyo) (1968), 16(8), 1417-32.	
		SUZUE, et al., Studies on Hepato Agents, Chem. Pharm. Bull. vol. 16, No. 8, pp. 1417-1432, Aug. 1968.	
		SUZUKI, et al., Synthesis of 2-Aryl-4(3)-thymidazole Derivatives with Antiinflammatory Properties (sup.1), Chem. Pharm. Bull. 34(8): 3111-3120 (1986).	
		TAO, et al., Inhibition of Cathepsin B by Peptidyl Heterocycles, Bioorganic & Medicinal Chemistry Letters, 8:24 3009-3112 (1996).	

Examiner
SignatureDate
Considered

¹EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 809. Draw the through citation if not in conformance and not
 considered. Include copy of the form with next communication to applicant.

¹ Unless citation designation number. ² Applicant is to place a check mark here if English language translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on
 the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231.
 DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

Please type a plus sign (+) inside this box → ☐Approved for use through 10/1/99. CMB 0851-0091
Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid CMB control number.

Substitute for form 1449S/PTO

**INFORMATION DISCLOSURE
STATEMENT BY APPLICANT**

(use as many sheets as necessary)

Sheet

10

of

13

Complete if Known

Application Number	10/095,783
Filing Date	12/24/2001
First Named Inventor	GRAUPE
Group Art Unit	1628
Examiner Name	Shaio
Attorney Docket Number	USAV2001/0081 - US - NP

OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS

Examiner Initials*	Cite No.†	Indicate name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T‡
		THOMPSON, et al., Carboxy-modified amino acids and peptides as protease inhibitors, J. Med. Chem., 28(1):104-111 (1985).	
		TSUTSUMI, et al., Synthesis and Structure-Activity Relationships of Pentacyclic a-Keto Heterocycles as Novel Inhibitors of Prolyl Endopeptidase, Journal of Medicinal Chemistry, vol. 37, No. 21, p. 3462-3469 (1994).	
		VARGHA, E., Peptide derivatives. VI. N-protected di- and tripeptide nitriles, Stud. Univ. Babeş-Bolyai, Ser. Chem., 18(2):31-5 (English abstract of article in Romanian) (1966).	
		VARGHESE, The structure and resonance Raman spectra-structure correlations for methylloxycarbonyl-L-phenylalanyl-L-alanine ethyl dihydroester, Can. J. Chem., 64(8):1868-1873 (1986).	
		YAMADA, et al., Studies of unusual amino acids and their peptides. IX. The synthetic study of botanomycin B1 and B2, Bul. Chem. Soc., Jpn. 51(3):879-89 (1978), abstract.	
		Derwent Abstract of Japanese Patent Application 08-192199, (Jul. 12, 1994).	

Examiner
SignatureDate
Considered

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 808. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

† Unique citation designation number. ‡ Applicant to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND PDS OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

Please type a plus sign (+) inside this box → ☐Approved for use through 10/2/04 CMB 005-0001
Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE
Under the Paperwork Reduction Act of 1995, no person is required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449A/PTO

INFORMATION DISCLOSURE
STATEMENT BY APPLICANT

(Use as many sheets as necessary)

Complete if Known

Application Number	10/035,793
Filing Date	12/24/2001
First Named Inventor	GRAUPE
Group Art Unit	1826
Examiner Name	Shafo
Attorney Docket Number	USAV2001/0081 - US - NP

Sheet 11 of 13

U.S. PATENT DOCUMENTS

Examiner Initials	Cite No. ¹	U.S. Patent Document Number	Kind Code (if known)	Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Paragraphs or Relevant Figures Appear
		09/027,188		CAI, et al.	08-10-2001	
		09/027,284		BUTLER, et al.	08-10-2001	
		09/028,122		BREITENBUCHER, et al.	08-10-2001	
		09/048,214		GU, et al.	08-06-2001	
		10/042,565		QUIBELL, et al.	11-18-2001	
		10/148,612		OHMOTO, et al.	08-21-2002	
		10/148,613		OHMOTO, et al.	08-28-2002	
		10/181,713		OHMOTO, et al.	07-23-2002	
		10/181,799		OHMOTO, et al.	07-23-2002	
		10/231,425		BUXTON, et al.	08-28-2002	
		10/258,512		BEKKALI, et al.	09-27-2002	
		10/258,053		CUMMINGS, et al.	10-17-2002	
		10/275,583		COWEN, et al.	11-07-2002	
		10/279,424		BEKKALI, et al.	10-24-2002	
		10/488,385		QUIBELL, et al.	01-08-2004	
		6,015,781		GYORKOS, et al.	07-18-2000	
		6,808,057		GYWIN, et al.	08-18-2003	

FOREIGN PATENT DOCUMENTS

Examiner Initials	Cite No. ¹	Foreign Patent Document Office ²	Number ³	Kind Code (if known)	Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Paragraphs or Relevant Figures Appear	TS
		JP	JP 2001-011037		SATO, et al.	01-18-2001		
		JP	JP 2001-098368		SATO, et al.	02-27-2001		
		WO	WO/00/09881		SINGH, et al.	10-12-2000		
		WO	WO/01/09189		SINGH, et al.	02-08-2001		
		WO	WO/02/057248		QUIBELL, et al.	07-25-2002		
		WO	WO/02/057249		QUIBELL, M	07-25-2002		
		WO	WO/02/057270		QUIBELL, M	07-25-2002		
		WO	WO/02/098692		OHMOTO, et al.	05-30-2002		
		WO	WO/02/105849		HICKEY, et al.	12-19-2002		
		WO	WO/02/30485		BEKKALI, et al.	03-14-2002		

Examiner
SignatureDate
Considered

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 808. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

¹ Unique citation designation number. ² See attached ICHDs of U.S. Patent Documents. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

Please type a plus sign (+) inside this box → ☐Approved for use through 10/31/06. CHS 001-5031
Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449B/PTO

Complete if Known

**INFORMATION DISCLOSURE
STATEMENT BY APPLICANT**

(use as many sheets as necessary)

Application Number	10/035,793
Filing Date	12/24/2001
First Named Inventor	GRAUPE
Group Art Unit	1628
Examiner Name	Shalo
Attorney Docket Number	USAV2001/0061 - US - NP

Sheet 12 of 13

OTHER PRIOR ART -- NON PATENT LITERATURE DOCUMENTS

Examiner Initials*	Cite No.†	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	TS
		CHAPMAN, et al., Emerging Roles for Cysteine Proteases in Human Biology, Ann. Rev. Physiol.; 1997; 59; pp.63-68.	
		DRANCOFF, et al., Cathepsin S Required for Normal MHC Class II Peptide Loading and Germinal Center Development, Immunity; 1999; 10; pp.197-203.	
		FENWICK, et al., Diastereoselective Synthesis, Activity and Chiral Stability of Cyclic Alkoxyketones Inhibitors of Cathepsin K, Bioorg. Med. Chem. Lett.; 2001; 11(2); pp.199-202.	
		FENWICK, et al., Solid-phase Synthesis of Cyclic Alkoxyketones, Inhibitors of the Cysteine Protease Cathepsin K, Bioorg. Med. Chem. Lett.; 2001; 11(2); pp.195-198.	
		GREENSPAN, et al., Identification of Dipeptidyl Nitriles as Potent and Selective Inhibitors of cathepsin B Through Structure-based Drug Design, J. Med. Chem.; 2001; 44; pp.4524-4534.	
		LOWE, et al., Kinetic Specificity in Pepsin-catalyzed Hydrolyses, Biochem. J.; 1971; 124(1); pp.107-116.	
		MACIEWICZ, et al., A comparison of Four Cathepsins (B, L, N and S) with Collagenolytic Activity From Rabbit Spleen, Biochem J.; 1998; 256; pp.433-440.	
		MARQUIS, et al., Azetidine-based Inhibitors of Human and Rat Cathepsin K, J. Med. Chem.; 2000; 44(9); pp.1380-1393.	
		NAKAGAWA, et al., Impaired Invariant Chain Degradation and Antigen Presentation and Diminished Collagen-induced Arthritis in Cathepsin S-null Mice, Immunity; 1999; 10; pp.207-217.	
		OTTO, et al., Cysteine Proteases and their Inhibitors, Chem. Rev.; 1997; 97; pp.133-171.	

Examiner Signature	Date Considered
	1/3/05

*EXAMINER: Initials reference considered, whether or not citation is in conformance with MPEP 608. Draw line through citation if not in conformance and not considered. Include copy of title form with most communication to applicant.

† Unique citation designation number. ‡ Applicant to place a check mark here if English language translation is attached.

Burden Hour Statement: This form is estimated to take 2.0 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

